

L9 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1988:26970 CAPLUS
DN 108:26970
TI Oral aqueous formulations containing bile acids and dextrans
IN Nakazawa, Shinzo; Kuno, Satoshi
PA Tokyo Tanabe Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF

DT Patent
LA Japanese
IC ICM A61K031-575
ICA A61K047-00
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 62153220	A2	19870708	JP 1985-292933	19851227
	JP 04065051	B4	19921016		
PRAI	JP 1985-292933		19851227		

AB Oral liq. cholagogues contain bile acids and dextrans which control the bitter taste of bile acids. Ursodeoxycholic acid 10 and Bu 4-hydroxybenzoate 1 g were dissolved in EtOH and its vol. adjusted to 100 mL. One mL of this was dispersed in a sterilized H2O 80 g, then 3 g of amyloextrin was added to give a transparent soln. To this soln. were added 350 mg of a licorice ext., 0.8 mL ginger ext., 1.5 mL fennel ext., 0.5 mL cinnamon ext., 130 mg ginseng ext., 0.1 mL plum flavor, 10 g D-glucose, and 0.5 g polyoxyethylene hydrogenated castor oil. The mixt. was filtered and the wt. adjusted to 100 g with H2O. The soln. was divided into 20 mL portions for an adult dosage.

ST bile acid cholagogue dextrin

IT Bile acids

RL: BIOL (Biological study)

1984:549801 CAPLUS

DN 101:149801
ED Entered STN: 27 Oct 1984
TI Manufacture of cholesterol oxidase
PA Toyobo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC C12N009-04
CC 16-4 (Fermentation and Bioindustrial Chemistry)
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 59088087	A2	19840521	JP 1982-197030	19821109
	JP 60030511	B4	19850717		
PRAI	JP 1982-197030		19821109		

AB Cholesterol oxidase (I) [9028-76-6] is produced by fermn. and recovering from the cell mass by extg. with a soln. contg. anionic surfactants or a mixt. of the surfactant and bile acids or their salts. Thus, a preculture of Streptomyces capuensis was incubated in a pH 7.2 medium contg. sol. starch 1.5, peptone 0.5, yeast ext. 0.4, meat ext. 0.2, CaCO₃ 0.2, K₂HPO₄ 0.1, MgSO₄.7H₂O 0.05, FeSO₄.7H₂O 0.00 2, rice bran oil 1.0, and adekanol LG-126 0.2% at 30.degree. for 2 days with aeration and stirring. The broth was extd. with a SDS [151-21-3] (0.12%)-Na cholate [361-09-1] (0.10%) mixt. (pH 7.0) at room temp. for 30 min and the ext. was centrifuged. The I titer in the supernatant fraction reached 3.76 units/mL; the I titer was 2.96-3.28 units/mL when the broth was extd. with 0.06-0.12% SDS, and was only 1.42 units/mL when extd. in the absence of surfactant and bile acids as their salts.

ST surfactant Streptomyces cholesterol oxidase; bile acid Streptomyces cholesterol oxidase; Streptomyces cholesterol oxidase manuf

IT Surfactants
(cholesterol oxidase extn. from Streptomyces capuensis with bile acid and)

IT Bile acids
RL: BIOL (Biological study)
(cholesterol oxidase extn. from Streptomyces capuensis with surfactant and)

IT Streptomyces capuensis
(cholesterol oxidase manuf. with)

IT Fermentation
(cholesterol oxidase, with Streptomyces capuensis)

IT 151-21-3, biological studies
RL: BIOL (Biological study)
(cholesterol oxidase extn. from Streptomyces capuensis with bile acid and)

IT 361-09-1
RL: BIOL (Biological study)
(cholesterol oxidase extn. from Streptomyces capuensis with surfactant and)

IT 9028-76-6P
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)
(manuf. of, with Streptomyces capuensis)

L13 ANSWER 20 OF 45 USPATFULL on STN

SUMM European Patent Application No. EP 111,841 A published Jun. 27, 1984, Derwent Abstract 84-159888/26, discloses nasal compositions comprising a nona- or deca-peptide or its salts having LHRH agonist or antagonist activity together with a **bile acid** or its salt as a surfactant in aqueous **solution**, the composition having greatly enhanced absorption across the nasal membrane. Representative of the LHRH analog is the compound represented by the formula

L13 ANSWER 26 OF 45 USPATFULL on STN

AB The active agent **ursodeoxycholic acid** has proved therapeutically active in infants, inter alia for the treatment of cholestatic hepatic diseases. A problem when administering this active agent, a **bile acid**, to infants is its extreme bitterness. As a result of the present invention a taste-acceptable, liquid administration form for **ursodeoxycholic acid** with an adequately high active agent concentration is described. The liquid to be ingested is a suspension prepared accompanied by the addition of a swelling and/or thickening agent, which contains the active agent mainly in fine crystalline form as the disperse phase and only in a much smaller proportion dissolved in the aqueous dispersant. A remaining residual bitterness can be additionally masked by the addition of **.beta.-cyclodextrin** or suitable taste correcting agents.

SUMM All **bile acids**, including **ursodeoxycholic acid**, have an extremely bitter taste and an equally bitter after-taste lasting several hours. With the standard oral administration in the form of capsules or tablets it is admittedly possible to effectively conceal the bitter taste, but these administration forms are scarcely usable particularly in pediatrics, because infants cannot or can only with difficulty swallow capsules or tablets. In pediatrics preference is given to liquid administration forms, particularly in view of the fact that in the case of infants they can be better dosed in accordance with the body weight. Also in the case of liquid administration forms taste masking or concealing is possible, e.g. through the use of pellets. Pellets are small balls in which the active agent is enclosed and is consequently not in direct contact with the oral mucosa. The pellets are administered dispersed in suspensions. However, the production of pellets is complicated and expensive. They are very fragile, so that there is a risk of them being broken or bitten. In addition, it is generally only possible to have relatively small active agent quantities in each weight unit. In the case of **ursodeoxycholic acid** it is possible in this way to obtain a concentration of 20 to 30 mg/ml, whereas a concentration of approximately 50 mg/ml would be desirable. In order to be able to administer the **ursodeoxycholic acid** in a sufficiently high dosage (15 to 20 mg/kg of body weight and day), up to now there has been no taste masking in practice and instead a **solution** of the **bile acid** has been prepared in sodium bicarbonate, which has been administered by probe.

DETD By means of the homogenizing rod the active agent **ursodeoxycholic acid** and **.beta.-cyclodextrin** are successively incorporated portionwise therein.

DETD The **ursodeoxycholic acid** suspension is incorporated, accompanied by stirring, into the hydroxyethyl cellulose **solution**.

ACCESSION NUMBER: 96:60691 USPATFULL
TITLE: Ursodeoxycholic acid-containing medicament in a liquid administration form
INVENTOR(S): Widauer, Josef O., Allschwil, Switzerland
PATENT ASSIGNEE(S): Medichemie AG, Bruhlstrasse, Switzerland (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5534505		19960709
APPLICATION INFO.:	US 1994-296355		19940825 (8)

What is claimed is:

1. An clear aqueous **solution** comprising: (a) a first material selected from the group consisting of a **bile acid**, an aqueous soluble derivative of a **bile acid**, a **bile acid salt**, and a **bile acid** conjugated with an amine by an amide linkage; (b) a second material selected from the group consisting of **dextran** and liquid glucose; and (c) water, wherein the first and second materials both remain in solution for all pH values of the solution within a selected range of pH values and wherein the weight ratio of the second material to the first material is less than about 30:1.
2. An clear aqueous **solution** comprising: (a) a first material selected from the group consisting of a **bile acid**, an aqueous soluble derivative of a **bile acid**, a **bile acid salt**, and a **bile acid** conjugated with an amine by an amide linkage; (b) a second material selected from the group consisting of **dextran** and liquid glucose; and (c) water, wherein the first and second materials both remain in solution for all pH values of the solution within a selected range of pH values and wherein the concentration of the first material is more than about 1.17% (W/W).
3. An clear aqueous **solution** comprising: (a) a first material selected from the group consisting of a **bile acid**, an aqueous soluble derivative of a **bile acid**, a **bile acid salt**, and a **bile acid** conjugated with an amine by an amide linkage; (b) a second material selected from the group consisting of **dextran** and liquid glucose; and (c) water, wherein the first and second materials both remain in solution for all pH values of the solution within a selected range of pH values and wherein the concentration of the second material is more than about 35% (W/W).
7. The aqueous **solution** of claim 5 wherein the first material is **ursodeoxycholic acid** and the pharmaceutical compound is selected from the group consisting of metformin HCl, ranitidine HCl, cimetidine, lamivudine, cetirizine 2HCl, amantadine, rimantadine, sildenafil, apomorphine, yohimbine, trazodone, ribavirin, dexamethasone, hydrocortisone, prednisolone, triamcinolone, cortisone, niacin, catechin and its derivatives, taurine, vitamins, and naturally occurring amino acids.
13. The aqueous **solution** of any one of claims 1, 2 or 3 wherein the first material is selected from the group consisting of **ursodeoxycholic acid**, **chenodeoxycholic acid**, **cholic acid**, **hyodeoxycholic acid**, **deoxycholic acid**, **7-oxolithocholic acid**, **lithocholic acid**, **iododeoxycholic acid**, **iocholic acid**, **tauroursodeoxycholic acid**, **taurochenodeoxycholic acid**, **taurodeoxycholic acid**, **glycoursodeoxycholic acid**, **taurocholic acid**, **glycocholic acid**, their derivatives at a hydroxyl or carboxylic acid group on the steroid nucleus, their salts, or their conjugates with amines.
14. The aqueous **solution** of any one of claims 1 or 2 wherein the **bile acid salt** is a product of the reaction of a **bile acid** and an amine.
15. The aqueous **solution** of claim 14 wherein the **bile acid** is selected from the group consisting of **ursodeoxycholic acid**, **chenodeoxycholic acid**, **cholic acid**, **hyodeoxycholic acid**, **deoxycholic acid**, **7-oxolithocholic acid**, **lithocholic acid**, **iododeoxycholic acid**, **iocholic acid**, **tauroursodeoxycholic acid**, **taurochenodeoxycholic acid**, **taurodeoxycholic acid**, **glycoursodeoxycholic acid**, **taurocholic acid**, **glycocholic acid**, their derivatives at a hydroxyl or carboxylic acid group on the steroid nucleus, their salts, or their conjugates with amines.

acid, cholic acid, hyodeoxycholic acid, deoxycholic acid, 7-oxolithocholic acid, iododeoxycholic acid, iocholic acid, taurooursodexychoic acid, glycocholic acid, and their derivatives at a hydroxyl or carboxylic acid group on the steroid nucleus.

17. The aqueous **solution** of any one of claims 1, 2 or 3 wherein the **bile acid** salt is a soluble metal salt of a **bile acid** or an aqueous soluble O-sulfonated **bile acid**.

33. A method of preparing an aqueous **solution** wherein the **solution** forms no precipitate at any pH value of the **solution** within a selected range of pH values comprising: (a) dissolving a **bile acid**, **bile acid** salt, or **bile acid**-amine conjugate in water to form a clear **solution**; (b) adding an aqueous soluble **starch** conversion product to the clear **solution** and allowing it to dissolve to form a clear **solution**; and (c) optionally adding a pharmaceutically effective amount of a pharmaceutical compound.

ACCESSION NUMBER: 2001:97453 USPATFULL
TITLE: Preparation of aqueous clear **solution** dosage forms with **bile acids**
INVENTOR(S): Yoo, Seo Hong, 537 Spencer Dr., Wyckoff, NJ, United States 07481

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6251428	B1	20010626
APPLICATION INFO.:	US 1999-357549		19990720 (9)

L24 ANSWER 49 OF 97 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1987:446073 CAPLUS
 DN 107:46073
 ED Entered STN: 08 Aug 1987
 TI Solubilization of cyclodextrin inclusion compounds
 IN Sato, Mitsukatsu; Yagi, Yoshiaki; Nishimura, Masami; Ishikura, Tomoyuki
 PA Sanraku Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 IC ICM A61K047-00
 ICS A61K047-00
 ICA A61K009-08
 CC 62-4 (Essential Oils and Cosmetics)
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 62072628	A2	19870403	JP 1985-212198	19850927
	JP 06021078	B4	19940323		
PRAI	JP 1985-212198		19850927		

AB Sparingly sol. **cyclodextrin** inclusion compds. are made
 sol. by mixing with C6-18 satd. or unsatd. fatty acid Na salt, Na
 lauryl sulfate, Na **cholic** acid, or Na benzoate. Thus, 16 g
 .beta.-**cyclodextrin** was added to 30 mL H2O and mixed with 4 g of
 a perfume oil. The mixt. was stirred vigorously for 60 min and
 freeze-dried. A bath prepn. was prepd. contg. the inclusion compd. 5,
 Na2SO4 80, NaCl 13, and Na benzoate 2 parts by wt. This prepn. was added
 at 1% (wt./vol.) to warm water, and rapid dissoln. was obsd.
 ST cyclodextrin inclusion compd solubilization
 IT Solubilization

SUMM The pharmaceutical compositions employed herein can comprise the litholytic **bile acid**-sucrose polyester agent alone, in combination with vitamins, anti-anal leakage agents, or both, either directly or in combination with any desired, non-interfering pharmaceutical carrier. As used herein, the term "pharmaceutical carrier" means a solid or liquid filler, diluent or encapsulating substance. Some examples of the substances which can serve as pharmaceutical carriers are sugars such as lactose, glucose and sucrose; **starches** such as corn **starch** and potato **starch**; cellulose and its derivatives such as sodium carboxymethylcellulose, ethylcellulose and cellulose acetate; powdered tragacanth; malt; gelatin; talc; oils such as peanut oil, cottonseed oil, sesame oil, olive oil, corn oil and soybean oil; polyols such as propylene glycol, glycerin, sorbitol, mannitol and polyethylene glycol; agar; alginic acid; pyrogen-free water; isotonic saline; ethyl alcohol and phosphate **solutions**, as well as other non-toxic compatible substances used in pharmaceutical formulations. Wetting agents and lubricants such as sodium lauryl sulfate, as well as coloring agents, flavoring agents and preservatives can also be present in the compositions, according to the desires of the formulator.

CLM What is claimed is:

1. A composition for prevention and treatment of radiolucent gallstones, comprising: (a) a safe and effective amount of a non-absorbable, non-digestible polyol fatty acid polyester wherein the polyol is esterified with at least four fatty acid groups; and (b) a safe and effective amount of a litholytic **bile acid**.
2. A composition according to claim 1 wherein the litholytic **bile acid** is selected from the group consisting of chenodeoxycholic acid, ursodeoxycholic acid, and their pharmaceutically-acceptable salts, and mixtures thereof.
3. A composition for prevention and treatment of radiolucent gallstones, comprising: (a) a non-absorbable, non-digestible liquid polyol fatty acid polyester wherein the polyol is esterified with at least four fatty acid groups; (b) a safe and effective amount of a litholytic **bile acid**; and (c) sufficient anti-anal leakage agent to prevent leakage of said liquid polyester through the anal sphincter.
4. A composition according to claim 3 wherein the litholytic **bile acid** is selected from the group consisting of chenodeoxycholic acid, ursodeoxycholic acid, and their pharmaceutically-acceptable salts, and mixtures thereof.
8. A composition according to claim 7 wherein the litholytic **bile acid** is selected from the group consisting of chenodeoxycholic acid, ursodeoxycholic acid, and their pharmaceutically-acceptable salts, and mixtures thereof.
14. A method according to claim 13 wherein the composition administered further comprises a safe and effective amount of a litholytic **bile acid**.
15. A method according to claim 13 which further comprises the concurrent administration of a composition comprising a safe and effective amount of a litholytic **bile acid**.
16. A method according to claim 14 or 15 wherein the litholytic **bile acid** is selected from the group consisting of chenodeoxycholic acid, ursodeoxycholic acid, and their pharmaceutically-acceptable salts, and mixtures thereof.

ACCESSION NUMBER: 81:23339 USPATFULL
TITLE: Gallstone dissolution compositions and method
INVENTOR(S): Jandacek, Ronald J., Cincinnati, OH, United States
PATENT ASSIGNEE(S): The Procter & Gamble Company, Cincinnati, OH, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 4264583		19810428
APPLICATION INFO.:	US 1979-60538		19790725 (6)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Roberts, Elbert L.		
LEGAL REPRESENTATIVE:	Roth, Michael J., Goldstein, Steven J., Witte, Richard C.		
NUMBER OF CLAIMS:	19		
EXEMPLARY CLAIM:	1,13		
LINE COUNT:	723		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

SPATFULL on STN

AB Improved bioavailability, particularly when the drug is administered orally, of the active ingredient 2-hydroxy-5-methylaurophenoxime (HMLO) of a pharmaceutical preparation is achieved by improving the absorption of the active ingredient HMLO significantly by including **bile acids** in the preparation. As **bile acids**, it is possible to use, for example, desoxycholic acid or dehydrocholic acid or a mixture of the two in the form of their salts.

DETD The active ingredient HMLO can be formulated with the **bile acid** or the mixture of **bile acids** in the form of **solutions**, suspensions, capsules, granulates, tablets or sugar-coated pills; preferably, it is formulated in the form of granulates or tablets.

DETD For this purpose, the HMLO active ingredient is advisably mixed homogeneously with the salt of the **bile acid** or acids and the usual tableting auxiliaries, such as lactose, potato **starch** and sugar, and subsequently granulated with a polyvinyl alcohol **solution**. The granulate obtained is dried, screened and mixed with appropriate lubricants and flow regulators, such as calcium stearate and talc. The granulate obtained can either be administered directly or pressed into tablets.

CLM What is claimed is:

1. A pharmaceutical preparation comprising a mixture of 2-hydroxy-5-methylaurophenoxime as an active ingredient and at least one **bile acid** as an absorption agent, the molar ratio of **bile acids** to 2-hydroxy-5-methylaurophenoxime being from 0.1:1 to 10:1.
2. A pharmaceutical preparation according to claim 1 in which the at least one **bile acid** is selected from the group consisting of desoxycholic acid, dehydrocholic acid and salts of desoxycholic acid and dehydrocholic acid.
3. A pharmaceutical preparation according to claim 2 in which the molar ratio of **bile acids** to 2-hydroxy-5-methylaurophenoxime is from 0.5:1 to 1:0.5.

ACCESSION NUMBER: 92:1619 USPATFULL

TITLE: Composition and methods for providing optimum bioavailability of the active ingredient 2-hydroxy-5-methylaurophenoxime (HMLO)

INVENTOR(S): Lucke, Lothar, Magdeburg, German Democratic Republic
Fries, Gerhard, Magdeburg, German Democratic Republic
Voigt, Gunter, Magdeburg, German Democratic Republic
Neubert, Reinhard, Halle, German Democratic Republic
Furst, Walter, Halle-Neustadt, German Democratic Republic
Slapke, Jurgen, Schwanebeck, German Democratic Republic
Schewe, Tankred, Berlin, German Democratic Republic

PATENT ASSIGNEE(S): VEB Fahlberg-List Chemische und pharmazeutische Fabriken, Magdeburg, German Democratic Republic (non-U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5079265		19920107
APPLICATION INFO.:	US 1990-476193		19900207 (7)

	NUMBER	DATE
PRIORITY INFORMATION:	DD 1989-3269784	19890329
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	

65 to about 90% w/w of an enzyme selected from the group consisting of pancreatic proteases, lipases, nucleases and amylase; (ii) from about 0.3 to about 13% w/w of a buffered micronized **bile acid**, said buffer selected from the group consisting of sodium and potassium carbonate and bicarbonate, ammonium carbonate, tromethamine, ethanolamine, diethanolamine and triethanolamine, said buffer/**bile acid** forming a mixture of a 1 to 1 neutralization equivalent ratio; (iii) a buffering agent selected from the group consisting of from about 0.25 to about 5.0% w/w sodium carbonate (anhydrous), sodium bicarbonate, potassium carbonate, potassium bicarbonate and ammonium carbonate, and from about 0.25 to about 1.5% w/w, tromethamine, diethanolamine and triethanolamine; (iv) of from about 3.0 to about 19% w/w of an adhesive polymer selected from the group consisting of polyvinylpyrrolidone, cellulose acetate phthalate, and a 60:40 blend of hydroxypropylmethyl cellulose, and ethyl cellulose; (v) of from about 0.5 to about 16% w/w a disintegrant selected from the group consisting of **starch**, modified **starches**, microcrystalline cellulose and propylene glycol alginate; b) wetting said blended ingredients with a liquid to cause the blend to stick together, wherein said liquid is selected from the group consisting of: 1%-25% w/w ethanol/75%-99% w/w 2-propanol/0.2%-2.5% w/w water; 98%-99% w/w 2-propanol/0.2%-2.0% w/w water; 1%-25% w/w methanol/0.2%-2.5% w/w water/75%-98% w/w 2 propanol/1%-5% w/w ethylacetate; c) granulating or extruding the liquid-wetted blend through a 10 or 18 mesh standard sieve screen; d) converting the granules to a uniform diameter particle size; e) compacting the uniform particles to spherical particles; f) drying the spherical particles under drying conditions not exceeding 35.degree. C. and 40% relative humidity; g) separating the spherical particles if not of uniform size according to desired sizes using U.S. Standard sieve screens; h) coating the particles with from about 7.0 to about 15% of a gastric acid-resistant polymer that disintegrates under neutral or slightly basic conditions selected from the group consisting of hydroxypropyl methyl cellulose phthalate, cellulose acetate phthalate, an aqueous enteric coating polymer dispersion and an acrylic based polymeric dispersion; and i) drying the polymer-coated spherical particles under drying conditions not exceeding 35.degree. C. and 40% relative humidity.

7. The digestive enzyme/buffered **bile acid** compositions for the treatment of digestive enzyme/ursodeoxycholic deficient mammals prepared by the process of claim 1.

8. A process for preparing a digestive enzyme/buffered-**ursodeoxycholic acid** composition for the treatment of digestive enzymes/**ursodeoxycholic acid** deficient mammals comprising the steps of: a) preparing a starting seed of the buffered-**ursodeoxycholic acid** comprising: micropulverizing the buffered-**ursodeoxycholic acid** in a centrifugal grinder or an impact pulverizer, blending the resultant micronized buffered-**ursodeoxycholic acid** with a disintegrant and a buffering agent; b) spraying said blend with a **solution** of the adhesive polymer until the blend agglomerates; c) granulating or extruding the liquid-wetted blend through a 10 or 18 mesh Standard Sieve screen; d) converting the granules to a uniform diameter particle size of 40 to 60 mesh; e) compacting the uniform particles to spherical particles; f) drying the spherical particles; g) separating the spherical particles if not of uniform size according to desired sizes using U.S. Standard sieve screens; h) using said 40 to 60 mesh particles as starting seeds for the preparation of larger microspheres; placing the 40-60 mesh starting seeds in a rotating coating pan, wetting the microspheres with the liquid/adhesive polymer-containing mixture followed by slowly dusting the buffered-UDCA/buffer/disintegrant composition over the tumbling and flowing buffered-UDCA seed until the desired particles sizes are

obtained; i) coating the particles with a gastric acid-resistant polymer that dissolves under neutral or slightly basic conditions; and j) drying the polymer coated spherical particles.

ACCESSION NUMBER: 94:30856 USPATFULL
TITLE: Preparation of gastric acid-resistant microspheres
containing digestive enzymes and buffered-bile acids
INVENTOR(S): Sipos, Tibor, Lebanon, NJ, United States
PATENT ASSIGNEE(S): Digestive Care Inc., Lebanon, NJ, United States (U.S.
corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5302400		19940412
APPLICATION INFO.:	US 1992-901758		19920622 (7

L24 ANSWER 50 OF 97 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1986:448922 CAPLUS
 DN 105:48922
 ED Entered STN: 09 Aug 1986
 TI Interaction of .beta.-cyclodextrin with bile salts in aqueous solutions
 AU Miyajima, Koichiro; Yokoi, Masayuki; Komatsu, Hiroaki; Nakagaki, Masayuki
 CS Fac. Pharm. Sci., Kyoto Univ., Kyoto, 606, Japan
 SO Chemical & Pharmaceutical Bulletin (1986), 34(3), 1395-8
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 CC 63-5 (Pharmaceuticals)
 AB .beta.-Cyclodextrin (.beta.-CD) [7585-39-9] forms inclusion complexes with **bile** salts (Na cholate, Na deoxycholate, Na glycocholate, and Na taurocholate) in aq. **solns.** In the presence of **bile** salts, the guest mols. of .beta.-CD complexes are excluded from the cavity of .beta.-CD and the free mols. increase with the concn. of **bile** salt up to the crit. micelle concn. (cmc). Above the cmc they are partitioned between the aq. and micellar phases. Below the cmc the exchange reaction proceeds depending on the formation consts. of the guest mol. of .beta.-CD and the concn. of bile salt. Above cmc, the free mols. in aq. phase decrease with increasing concn. of bile salt because of the partitioning to the micellar phase. These results may be related to the absorption of .beta.-CD complexes administered orally and also to the metab. of cholesterol when the complexes are administered orally for a long period of time.
 ST cyclodextrin bile salt inclusion complex
 IT Micelles
 (crit. concn. of, bile salt-.beta.-cyclodextrin inclusion complex
 host-guest properties in relation to)
 IT Bile salts
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (inclusion complexes with cyclodextrins, prepn. and properties of)
 IT Formation constant and Stability constant
 (of bile salt inclusion compds. with .beta.-cyclodextrin)
 IT 82-76-8 23731-35-3
 RL: PRP (Properties)
 (partition of, between .beta.-cyclodextrins and bile salts)
 IT 7585-39-9DP, inclusion compds. with bile salts 103419-26-7P
 103419-27-8P 103419-28-9P 103419-29-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and host-guest exchange properties of)

L24 ANSWER 57 OF 97 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1981:103689 CAPLUS
 DN 94:103689
 ED Entered STN: 12 May 1984
 TI Inclusion compds of cholic acids and their injections
 IN Kawagishi, Juichi
 PA Tokyo Tanabe Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DT Patent
 LA Japanese
 IC C07J009-00; A61K009-08; C08B037-16; A61K031-575
 CC 32-6 (Steroids)
 Section cross-reference(s): 33

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 55022616	A2	19800218	JP 1978-94600	19780804
PRAI	JP 1978-94600		19780804		

AB Inclusion compds. of .beta.-**cyclodextrin** with **cholic**,
 dehydrocholic, deoxycholic, ketodeoxycholic, and ursodeoxycholic acids
 were prepd. Thus, 1.00 g ursodeoxycholic acid suspended in H2O was
 treated with 4.05 g .beta.-**cyclodextrin** and the resulting clear
soln. distd. at 60.degree. under reduced pressure to give 5.02 g
 an inclusion compd. of ursodeoxycholic acid with .beta.-
cyclodextrin. Pharmaceutical injections contg. these inclusion
 compds. were described.

ST inclusion compd cyclodextrin cholic acid

IT Inclusion compounds

RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclodextrin-cholic acids)

IT Steroids, preparation

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, of inclusion compds. of cholic acid derivs. with
 cyclodextrin)

IT Pharmaceuticals

(injections, cholic acid-cyclodextrin inclusion compds.)

IT 75639-24-6P 75639-25-7P 75639-26-8P 75639-27-9P 75639-28-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

L24 ANSWER 75 OF 97 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1962:33281 CAPLUS
DN 56:33281
OREF 56:6355f-g
ED Entered STN: 22 Apr 2001
TI Activity of bile amylase
AU Adunts, G. T.; Nersesyan, R. R.
SO Izvestiya Akademii Nauk Armyanskoi SSR, Biologicheskije Nauki (1961),
14(No. 8), 47-53
CODEN: IABNAW; ISSN: 0367-6579
DT Journal
LA Unavailable
CC 59 (Enzymes)
AB cf. CA 55, 18828e.-Chicken, chick embryo, and sheep **bile** were
used. The reaction mixt. consisted of 1 ml. amylase (I) dild. 1:50, 5 ml.
1.2% **starch soln.**, 1 ml. 0.5M NaCl **soln.**
The pH optimum of the I of sheep **bile** was 6.81. The activity of
I drops up to 85% after incubation for 10 min. at 45.degree. and is
completely destroyed at 60.degree.. I of sheep bile is more thermolabile
than I from human saliva.
IT Bile
(amylase in)
IT 9000-91-3, Amylase, .beta.-
(in bile)

24 ANSWER 84 OF 97 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1930:27485 CAPLUS

DN 24:27485

OREF 24:2899d-e

ED Entered STN: 16 Dec 2001

TI Washing textile materials

IN Willis, N. E.

DT Patent

LA Unavailable

CC 25 (Dyes and Textile Chemistry)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	GB 321729		19280721	GB	
AB	In scouring wool or washing artificial silk or other materials, a dil. aq. soln. having a pH between 7.5 and 11 is used contg. a small proportion of a water-sol. carbohydrate (such as glucose, sol. starch, sucrose or hemi-cellulose), a protein such as blood albumin, ox-gall or bile, gelatin or Irish moss, and alk. buffer salts such as Na2PO4, borax or (NH4)2CO3 and an antiseptic.				
IT	Textiles				
	(filling)				
IT	Wool				
	(scouring, washing or cleaning of)				
IT	Rayon				
	Textiles				
	(washing)				

L24 ANSWER 92 OF 97 CAPLUS COPYRIGHT 2003 ACS on STN
 AN 1910:11153 CAPLUS
 DN 4:11153
 OREF 4:2003i,2004a-b
 ED Entered STN: 16 Dec 2001
 TI The Influence of Bile Salts on the Pancreatic Digestion of Starch
 AU Buglia., G.
 CS Physiol. Inst.;Univ. Naples
 SO Biochemische Zeitschrift (1910), 25, 239-56
 CODEN: BIZEA2; ISSN: 0366-0753
 DT Journal
 LA Unavailable
 CC 11 (Biological Chemistry)
 AB The rate at which starch **solns.** were hydrolyzed was measured by
 detn. of the reducing sugar formed, and of the decrease in viscosity of
 the **solns.** It was found that, while the rate of sugar formation
 increases with the conc. of **starch** and of enzyme, it does not
 increase in proportion to either of these concs., so the curves of the
 rate of hydrolysis have no simple logarithmic character. **Bile**
 salts increased the diastatic activity of the pancreatin. The effect of
 the bile salts was greatest when they were present in optimum conc. This
 conc. varied with the conditions of the expts. from 0.1-0.5%. It is
 suggested that the activating effect of the **bile** salts upon the
 pancreatic diastase may be connected with the effect of the salts in
 lowering the surface tension of the **starch solns.**
 IT Bile salts
 (effect on pancreatic digestion of starch)
 IT 935-13-7, 2-Furanpropionic acid
 (behavior in animal body)
 IT 9005-25-8, Starch
 (pancreatic digestion of, influence of bile salts on)